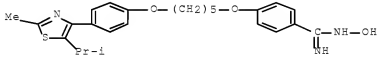
```
L1             1 S US 20090176846/PN

     FILE 'REGISTRY' ENTERED AT 13:37:51 ON 31 MAR 2010
L2             1 S 491577-61-8/RN

L2   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2010 ACS on STN
RN   491577-61-8  REGISTRY
CN   Benzenecarboximidamide, N-hydroxy-4-[[5-[4-[2-methyl-5-(1-
methylethyl)-4-
     thiazolyl]phenoxy]pentyl]oxy]-  (CA INDEX NAME)
OTHER NAMES:
CN   DW 1350
CN   N-Hydroxy-4-[5-[4-(5-isopropyl-2-methyl-1,3-thiazol-4-
     yl)phenoxy]pentoxy]benzamidine
MF   C25 H31 N3 O3 S
CI   COM
SR   CA
LC   STN Files:   CA, CAPLUS, CASREACT, IMSDRUGNEWS, IMSRESEARCH,
PROUSDDR,
       TOXCENTER, USPAT2, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP
(Preparation); PROC
       (Process); RACT (Reactant or reagent); USES (Uses)
```




```
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY

     FILE 'REGISTRY' ENTERED AT 13:38:12 ON 31 MAR 2010
L3             1 S 75-75-2/RN

L3   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2010 ACS on STN
RN   75-75-2  REGISTRY
CN   Methanesulfonic acid  (CA INDEX NAME)
OTHER NAMES:
CN   MCAT 1201
CN   Methylsulfonic acid
CN   NSC 3718
DR   1129867-34-0, 125756-91-4, 98527-29-8, 115449-98-4, 62203-24-1,
     87128-90-3, 44209-64-5, 44209-72-5
MF   C H4 O3 S
CI   COM
LC   STN Files:   AGRICOLA, ANABSTR, BEILSTEIN*, BIOSIS, BIOTECHNO, CA,
CAPLUS,
       CASREACT, CBNB, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM,
DETHERM*,
       EMBASE, ENCOMPLIT, ENCOMPLIT2, ENCOMPPAT, ENCOMPPAT2, GMELIN*,
HSDB*,
       IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, PIRA,
```

PROMT, PS,
RTECS*, SPECINFO, SYNTHLINE, TOXCENTER, ULIDAT, USPAT2,
USPATFULL,
USPATOLD
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)
DT.CA CAplus document type: Conference; Dissertation; Journal;
Patent; Report
RL.P Roles from patents: ANST (Analytical study); BIOL (Biological
study);
FORM (Formation, nonpreparative); MSC (Miscellaneous); OCCU
(Occurrence); PREP (Preparation); PROC (Process); PRP
(Properties); PRPH
(Prophetic); RACT (Reactant or reagent); USES (Uses); NORL (No
role in
record)
RLD.P Roles for non-specific derivatives from patents: ANST
(Analytical
study); BIOL (Biological study); PREP (Preparation); PROC
(Process); PRP
(Properties); PRPH (Prophetic); RACT (Reactant or reagent); USES
(Uses)
RL.NP Roles from non-patents: ANST (Analytical study); BIOL
(Biological
study); FORM (Formation, nonpreparative); MSC (Miscellaneous);
OCCU
(Occurrence); PREP (Preparation); PROC (Process); PRP
(Properties); RACT
(Reactant or reagent); USES (Uses); NORL (No role in record)
RLD.NP Roles for non-specific derivatives from non-patents: ANST
(Analytical
study); BIOL (Biological study); CMBI (Combinatorial study);
FORM
(Formation, nonpreparative); OCCU (Occurrence); PREP
(Preparation); PROC
(Process); PRP (Properties); RACT (Reactant or reagent); USES
(Uses)

SET NOTICE 1 DISPLAY
SET NOTICE LOGIN DISPLAY

FILE 'HCAPLUS' ENTERED AT 13:38:24 ON 31 MAR 2010
L4 9 S L2
L5 7246 S L3
L6 2 S L4 AND L5

L6 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN
TI An oral preparation having improved bioavailability
AB The present invention relates to an oral preparation of N-hydroxy-4-[5-[4-(5-isopropyl-2-methyl-1,3-thiazol-4-yl)phenoxy]pentoxy]benzamidine (I) having improved bioavailability. More particularly, the present invention relates to an oral preparation comprising I or pharmaceutically acceptable salt thereof; and one or more carbonates selected from the group consisting of alkali metal carbonate, alkali metal bicarbonate and alkaline earth metal carbonate, and/or one or more disintegrants selected from the group consisting of sodium starch glycolate, carmellose calcium and croscarmellose sodium. The oral preparation according to the present invention inhibits gelation of I or pharmaceutically acceptable salt thereof in the early stage of release, which increases dissoln. rate and remarkably raises bioavailability.

ACCESSION NUMBER: 2006:515838 HCAPLUS Full-text
DOCUMENT NUMBER: 144:495422
TITLE: An oral preparation having improved bioavailability
INVENTOR(S): Ryu, Jei Man; Cho, Soon Ki; Jung, Se Hyun; Seong, Seung Kyoo; Cho, Eun Hee; Ahn, Seok Hoon; Kim, Yun Jung
PATENT ASSIGNEE(S): Dong Wha Pharm. Ind. Co., Ltd, S. Korea
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006057507	A1	20060601	WO 2005-KR3950	20051122

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
KR 2006057511 A 20060526 KR 2005-111543 20051122
KR 2006057514 A 20060526 KR 2005-111779 20051122
AU 2005307994 A1 20060601 AU 2005-307994 20051122
AU 2005307994 B2 20090723
CA 2585003 A1 20060601 CA 2005-2585003 20051122
EP 1814593 A1 20070808 EP 2005-821036 20051122
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
CN 101056658 A 20071017 CN 2005-80038889 20051122
JP 2008520655 T 20080619 JP 2007-542909 20051122
BR 2005017396 A 20081014 BR 2005-17396 20051122
ES 2333739 T3 20100226 ES 2005-817697 20051122
ZA 2007000485 A 20071128 ZA 2007-485 20070117
US 20070254930 A1 20071101 US 2007-577469 20070418
ZA 2007004236 A 20081126 ZA 2007-4236 20070524
PRIORITY APPLN. INFO.: KR 2004-96390 A 20041123
WO 2005-KR3950 W 20051122
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
CC 63-6 (Pharmaceuticals)
IT 491577-61-8
RL: PKT (Pharmacokinetics); RCT (Reactant); THU (Therapeutic use); BIOL
(Biological study); RACT (Reactant or reagent); USES (Uses)
(oral prepns. containing benzenecarboximidamide derivative and carbonates)
IT 75-75-2, Methanesulfonic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(oral prepns. containing benzenecarboximidamide derivative and carbonates)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN
TI N-Hydroxy-4-[5-[4-(5-isopropyl-2-methyl-1,3-thiazol-4-yl)phenoxy]pentoxy]benzamidine di-methanesulfonic acid salt
AB Disclosed is N-hydroxy-4-[5-[4-(5-isopropyl-2-methyl-1,3-thiazol-4- yl)phenoxy]pentoxy]benzamidine di-methanesulfonic acid salt,

which has excellent bioavailability. Also disclosed are a method of preparing the compound and a pharmaceutical composition comprising the compound

ACCESSION NUMBER: 2006:513353 HCAPLUS Full-text
DOCUMENT NUMBER: 144:495412
TITLE: N-Hydroxy-4-[5-[4-(5-isopropyl-2-methyl-1,3-thiazol-4-yl)phenoxy]pentoxy]benzamidine di-methanesulfonic acid salt
INVENTOR(S): Ryu, Jei, Man; Lee, Jin, Soo; Shin, Dong, Hyuk; Seong, Seung, Kyoo; Cho, Soon, Ki; Jeon, Chan, Seok; Jin, Young, Goo; Lee, Ki, Young; Jung, Se, Hyun; Cho, Eun, Hee
PATENT ASSIGNEE(S): Dong Wha Pharmaceutical. Ind. Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006057501	A1	20060601	WO 2005-KR3934	20051122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
KR 2006057511	A	20060526	KR 2005-111543	20051122
KR 2006057514	A	20060526	KR 2005-111779	20051122

CA 2552766 A1 20060601 CA 2005-2552766
20051122
AU 2005300239 A1 20060706 AU 2005-300239
20051122
AU 2005300239 B2 20090806
EP 1701722 A1 20060920 EP 2005-817697
20051122
EP 1701722 B1 20091014
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU,
PL, SK,
BA, HR, IS, YU
CN 1905871 A 20070131 CN 2005-80001744
20051122
JP 2008508264 T 20080321 JP 2007-523495
20051122
BR 2005014386 A 20080610 BR 2005-14386
20051122
NZ 555725 A 20080731 NZ 2005-555725
20051122
RU 2361867 C2 20090720 RU 2007-123614
20051122
AT 445397 T 20091015 AT 2005-817697
20051122
ES 2333739 T3 20100226 ES 2005-817697
20051122
ZA 2007000485 A 20071128 ZA 2007-485
20070117
ZA 2007004236 A 20081126 ZA 2007-4236
20070524
IN 2007DN04653 A 20070817 IN 2007-DN4653
20070618
US 20090176846 A1 20090709 US 2008-584984
20080508
PRIORITY APPLN. INFO.: KR 2004-96390 A
20041123
WO 2005-KR3934 W
20051122
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1
IT 75-75-2, Methanesulfonic acid 491577-61-8,
N-Hydroxy-4-[5-[4-(5-isopropyl-2-methyl-1,3-thiazol-4-
yl)phenoxy]pentoxy]benzamidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of stable benzenecarboximidamide derivative
methanesulfonate salt

L7 31 S L5 AND BIOAVAILABILITY/IT
L8 15 S L7 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
L9 2 S L5 (L) BIOAVAILABILITY/IT
L10 2 S L5 (L) BIOAVAILABIL?
L11 0 S L10 NOT L9
L12 291 S L5 AND DRUG DELIVERY SYSTEMS/IT

```
L13         153 S L12 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
L14          15 S L13 AND BIOAVAILAB?
L15           2 S L14 NOT (L8 OR L9)

     FILE 'HCAPLUS' ENTERED AT 13:46:13 ON 31 MAR 2010
                E RYU JEI?/AU
                SET EXPAND CONTINUOUS
L16          39 S E2
L17           2 S L16 AND L5
L18           1 S L17 NOT L15
L19           4 S L16 AND BIOAVAIL?
L20           2 S L19 NOT (L15 OR L18)
                E LEE JIN SOO?/AU
L21         189 S E14
L22           1 S L21 AND L5
L23           0 S L22 NOT (L15 OR L18)
L24          10 S L21 AND BIOAVAIL?
L25           6 S L24 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
L26           5 S L25 NOT (L15 OR L18)
                E SHIN DONG HYUK?/AU
L27         194 S E26
L28           1 S L27 AND L5
L29           1 S L27 AND BIOAVAILAB?
L30         193 S L27 NOT (L15 OR L18)
L31           0 S L28 NOT (L15 OR L18)
                E SEONG SEUNG KYOO?/AU
L32          17 S E38
L33           2 S L32 AND L5
L34           0 S L33 NOT (L15 OR L18)
                E CHO SOON KI?/AU
L35           2 S E50
L36           2 S L35 AND L5
L37           0 S L36 NOT (L15 OR L18)
                E JEON CHAN SEOK?/AU
L38           2 S E62
L39           1 S L38 AND L5
L40           0 S L39 NOT (L15 OR L18)
                E JIN YOUNG GOO?/AU
L41           9 S E73-E74
L42           1 S L41 AND L5
L43           0 S L42 NOT (L15 OR L18)
                E LEE KI YOUNG?/AU
L44         280 S E86
L45           1 S L44 AND L5
L46           0 S L45 NOT (L15 OR L18)
L47           3 S L44 AND BIOAVAILAB?
L48           1 S L47 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
                E JUNG SE HYUN?/AU
L49           8 S E98
L50           2 S L49 AND L5
L51           2 S L50 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
L52           1 S L51 NOT L48
                E CHO EUN HEE?/AU
L53          45 S E110
L54           2 S L53 AND L5
L55           0 S L54 NOT (L48 OR L52)
L56           2 S L53 AND BIOAVAILAB?
```

```
L57             2 S L56 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
                E AHN SEOK HOON?/AU
L58             5 S E122
L59             1 S L58 AND L5
L60             0 S L59 NOT (L48 OR L52)



=> d his

     (FILE 'HOME' ENTERED AT 15:14:12 ON 06 APR 2010)

     FILE 'HCAPLUS' ENTERED AT 15:14:26 ON 06 APR 2010
                E DIMETHANESULFONATE?
                SET EXPAND CONTINUOUS
L1          1053 S  E2,E4
                E MONOMETHANESULFONATE?
L2           149 S E13-E14

     FILE 'HCAPLUS' ENTERED AT 15:15:27 ON 06 APR 2010
L3            10 S L1 AND L2
L4             9 S L3 AND (PY<=2000 OR AY<=2000 OR PRY<=2000)
L5             2 S L4 AND SOLUB?
L6          1053 S DIMETHANESULFONATE?
L7           149 S MONOMETHANESULFONATE?
L8            10 S L6 AND L7
L9             9 S L8 AND (PY<=2000 OR AY<=2000 OR PRY<=2000)
L10            0 S L9 NOT L4

=> s dimethanesulfonate? (l) monomethanesulfonate?
          1052 DIMETHANESULFONATE?
             9 DIMETHANESULPHONATE?
          1053 DIMETHANESULFONATE?
                 (DIMETHANESULFONATE? OR DIMETHANESULPHONATE?)
           149 MONOMETHANESULFONATE?
L11          5 DIMETHANESULFONATE? (L) MONOMETHANESULFONATE?

=> s l11 and (py<=2000 or ay<=2000 or pry<=2000)
      21040839 PY<=2000
       3966521 AY<=2000
       3436844 PRY<=2000
L12          5 L11 AND (PY<=2000 OR AY<=2000 OR PRY<=2000)

=> s l12 not l9
L13          0 L12 NOT L9

=> d his

     (FILE 'HOME' ENTERED AT 15:14:12 ON 06 APR 2010)

     FILE 'HCAPLUS' ENTERED AT 15:14:26 ON 06 APR 2010
                E DIMETHANESULFONATE?
                SET EXPAND CONTINUOUS
L1          1053 S  E2,E4
                E MONOMETHANESULFONATE?
L2           149 S E13-E14
```

```
     FILE 'HCAPLUS' ENTERED AT 15:15:27 ON 06 APR 2010
L3           10 S L1 AND L2
L4            9 S L3 AND (PY<=2000 OR AY<=2000 OR PRY<=2000)
L5            2 S L4 AND SOLUB?
L6         1053 S DIMETHANESULFONATE?
L7          149 S MONOMETHANESULFONATE?
L8           10 S L6 AND L7
L9            9 S L8 AND (PY<=2000 OR AY<=2000 OR PRY<=2000)
L10           0 S L9 NOT L4
L11           5 S DIMETHANESULFONATE? (L) MONOMETHANESULFONATE?
L12           5 S L11 AND (PY<=2000 OR AY<=2000 OR PRY<=2000)
L13           0 S L12 NOT L9


L1          455 S DIMESYLATE?
L2            4 S L1 (L) SOLUBILITY?
L3            3 S L2 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
L4          366 S L1 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
L5            0 S L1/THU
L6            4 S L4 AND MONOMESYLATE
L7            4 S L6 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
```